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## AMENDMENTS TO THE CLAIMS

1. (Currently amended) A compound of the formula I or a pharmaceutically acceptable salt thereof,

formula I

$$R_4$$
 $R_5$ 
 $R_2$ 
 $R_1$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 

wherein R<sup>1</sup> is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>oxyalkyl, Het<sup>1</sup>aryl, Het<sup>1</sup>aralkyl, Het<sup>1</sup>cycloalkyl, Het<sup>1</sup>carbonyl, Het<sup>1</sup>alkoxycarbonyl, Het<sup>1</sup>alkylthiocarbonyl, Het<sup>1</sup>oxycarbonyl, Het thiocarbonyl, Het alkanoyl, Het aralkanoyl, Het aryloxyalkyl, Het alkyloxyalkyl, Het arylthioalkyl, Het aryloxycarbonyl, Het aralkoxycarbonyl, Het aroyl, Het oxyalkylcarbonyl, Het lalkyloxyalkylcarbonyl, Het laryloxyalkylcarbonyl, Het lcarbonyloxyalkyl, Het<sup>1</sup>alkylcarbonyloxyalkyl, Het<sup>1</sup>aralkylcarbonyloxyalkyl, Het<sup>2</sup>alkyl; Het<sup>2</sup>oxyalkyl, Het<sup>2</sup>alkyloxyalkyl, Het<sup>2</sup>aralkyl, Het<sup>2</sup>carbonyl, Het<sup>2</sup>oxycarbonyl, Het<sup>2</sup>thiocarbonyl, Het<sup>2</sup>alkanoyl, Het<sup>2</sup>alkylthiocarbonyl, Het<sup>2</sup>alkoxycarbonyl, Het<sup>2</sup>aralkanoyl, Het<sup>2</sup>aralkoxycarbonyl, Het<sup>2</sup>aryloxycarbonyl, Het<sup>2</sup>aroyl, Het<sup>2</sup>aryloxyalkyl, Het<sup>2</sup>arylthioalkyl, Het<sup>2</sup>oxyalkylcarbonyl, Het<sup>2</sup>alkyloxyalkylcarbonyl, Het<sup>2</sup>aryloxyalkylcarbonyl, Het<sup>2</sup>carbonyloxyalkyl, Het<sup>2</sup>alkylcarbonyloxyalkyl, Het<sup>2</sup>aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, CR<sup>6</sup>=NR<sup>7</sup> and CR<sup>6</sup>=N(OR<sup>7</sup>), with R<sup>6</sup> and R<sup>7</sup> being independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup> alkyl, Het<sup>1</sup> aryl, alkenyl, alkynyl,

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aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydroxyl, alkyloxy, alkyloxy, alkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, silyloxy, aralkanoyloxy, aryloxycarbonylalkyloxy, formyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Hetlalkyloxy, Hetlaryloxy, Hetla

wherein R<sup>1</sup> R<sup>2</sup> and R<sup>3</sup> are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>thio, Het<sup>2</sup>thio, Het<sup>1</sup>alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>,  $S(O)R^8$ ,  $SO_2R^8$ ,  $CR^8=N(OR^9)$ ,  $N_3$ ,  $NO_2$ ,  $NR^8R^9$ ,  $N(OH)R^8$ ,  $C(O)R^8$ ,  $C(S)R^8$ ,  $CO_2R^8$ , C(O)sRC(O)SR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>,  $NR^{8}C(S)R^{9}$ ,  $N(OH)C(O)R^{9}$ ,  $N(OH)C(S)R^{8}$ ,  $NR^{8}CO_{2}R^{9}$ ,  $NR^{8}C(O)NR^{9}R^{10}$ ,  $NR^{8}C(S)NR^{9}R^{10}$ , N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl,

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alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R<sup>4</sup> is selected from the group consisting of oxo, hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alklylthio, alkylamino, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl,

cycloalkyl, cycloalkyloxy, cycloalkylthio, cycloalkylamino, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aryloxyalkyl, haloalkyloxy, haloalkylthio, haloalkylamino, hydroxyalkyl, aralkanoyl, aryloxycarbonylalkyl, aryloxyalkanoyl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>oxy, Het<sup>1</sup>oxyalkyl, Het<sup>1</sup>aryl, Het aralkyl, Het cycloalkyl, Het aryloxyalkyl, Het aroyl, Het, Het oxy, Het alkyl; Het oxyalkyl, Het<sup>2</sup>aralkyl, Het<sup>2</sup>cycloalkyl, Het<sup>2</sup>aryl, Het<sup>2</sup>alkanoyl, Het<sup>2</sup>aralkanoyl, Het<sup>2</sup>aroyl, Het<sup>2</sup>aryloxyalkyl, aminocarbonyl, aminoalkanoyl, and aminoalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het²thio, Het lalkylthio, Het loxy and Het loxy, OR 11, SR 11, SO2NR 11R 12, SO2N(OH)R 11,  $CN, CR^{11}=NR^{12}, S(O)R^{11}, SO_2R^{11}, CR^{11}=N(OR^{12}), N_3, NO_2, NR^{11}R^{12}, N(OH)R^{11}, C(O)R^{11},$  $C(S)R^{11}$ ,  $CO_2R^{11}$ ,  $C(O)SRC(O)SR^{11}$ ,  $C(O)NR^{11}R^{12}$ ,  $C(S)NR^{11}R^{12}$ ,  $C(O)N(OH)R^{12}$ ,  $C(S)N(OH)R^{11}, NR^{11}C(O)R^{12}, NR^{11}C(S)R^{12}, N(OH)C(O)R^{12}, N(OH)C(S)R^{11}, NR^{11}CO_2R^{12}, N(OH)C(O)R^{12}, N(OH)C(O)R^{12}$  $NR^{11}C(O)NR^{12}R^{13}$ , and  $NR^{11}C(S)NR^{12}R^{13}$ ,  $N(OH)CO_2R^{11}$ ,  $NR^{11}C(O)SR^{12}$ ,  $N(OH)C(O)NR^{11}R^{12}$ ,  $N(OH)C(S)NR^{11}R^{12}$ ,  $NR^{11}C(O)N(OH)R^{12}$ ,  $NR^{11}C(S)N(OH)R^{12}$ , NR<sup>11</sup>SO<sub>2</sub>R<sup>12</sup>, NHSO<sub>2</sub>NR<sup>11</sup>R<sup>12</sup>, NR<sup>11</sup>SO<sub>2</sub>NHR<sup>12</sup>, P(O)(OR<sup>11</sup>)(OR<sup>12</sup>), wherein t is an integer between 1 and 2, R<sup>11</sup>, R<sup>12</sup> and R<sup>13</sup> are each independently selected from the group consisting of hydrogen, alkyl, alkenyl, and alkynyl; and

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wherein R<sup>5</sup> is selected from the group consisting of hydrogen, oxo, hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxycarbonyloxy, aralkoxycarbonyloxy, aryloxyalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aryloxycarbonylalkyl, aryloxyalkanoyl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>oxy, Het oxyalkyl, Het aryl, Het aralkyl, Het cycloalkyl, Het aryloxyalkyl, Het aroyl, Het xyl, He Het<sup>2</sup>alkyl; Het<sup>2</sup>oxyalkyl, Het<sup>2</sup>aralkyl, Het<sup>2</sup>cycloalkyl, Het<sup>2</sup>aryl, Het<sup>2</sup>alkanoyl, Het<sup>2</sup>aralkanoyl, Het<sup>2</sup>aroyl, Het<sup>2</sup>aryloxyalkyl, aminocarbonyl, aminoalkanoyl, and aminoalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, aylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>thio, Het<sup>2</sup>thio, Het<sup>1</sup>alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>11</sup>, SR<sup>11</sup>, SO<sub>2</sub>NR<sup>11</sup>R<sup>12</sup>,  $SO_2N(OH)R^{11}$ , CN,  $CR^{11}=NR^{12}$ ,  $S(O)R^{11}$ ,  $SO_2R^{11}$ ,  $CR^{11}=N(OR^{12})$ ,  $N_3$ ,  $NO_2$ ,  $NR^{11}R^{12}$ ,  $N(OH)R^{11}, C(O)R^{11}, C(S)R^{11}, CO_2R^{11}, \frac{C(O)sRC(O)SR}{C(O)SR^{11}}, C(O)NR^{11}R^{12}, C(S)NR^{11}R^{12}, C(S)NR^{$  $C(O)N(OH)R^{12}$ ,  $C(S)N(OH)R^{11}$ ,  $NR^{11}C(O)R^{12}$ ,  $NR^{11}C(S)R^{12}$ ,  $N(OH)C(O)R^{12}$ ,  $N(OH)C(S)R^{11}$ ,  $NR^{11}CO_2R^{12}$ ,  $NR^{11}C(O)NR^{12}R^{13}$ , and  $NR^{11}C(S)NR^{12}R^{13}$ ,  $N(OH)CO_2R^{11}$ ,  $NR^{11}C(O)SR^{12}$ , N(OH)C(O)NR<sup>11</sup>R<sup>12</sup>, N(OH)C(S)NR<sup>11</sup>R<sup>12</sup>, NR<sup>11</sup>C(O)N(OH)R<sup>12</sup>, NR<sup>11</sup>C(S)N(OH)R<sup>12</sup>, NR<sup>11</sup>SO<sub>2</sub>R<sup>12</sup>, NHSO<sub>2</sub>NR<sup>11</sup>R<sup>12</sup>, NR<sup>11</sup>SO<sub>2</sub>NHR<sup>12</sup>, and P(O)(OR<sup>11</sup>)(OR<sup>12</sup>), wherein t is an integer between 1 and 2, R<sup>11</sup>, R<sup>12</sup> and R<sup>13</sup> are each independently selected from the group consisting of hydrogen, alkyl, alkenyl, and alkynyl; wherein Het is defined as a saturated or partially unsaturated monocyclic, bicyclic or polycyclic heterocycle consisting of 3 to 12 ring members which comprise one or more heteroatom ring members selected from nitrogen, oxygen or sulfur, optionally substituted on one or more carbon atoms by alkyl, alkyloxy, halogen, hydroxyl, oxo, optionally mono- or disubstituted amino, nitro,

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cyano, haloalkyl, carboxyl, alkoxycarbonyl cycloalkyl, optionally mono-or disubstituted aminocarbonyl, methylthio, methylsulfonyl, aryl and a saturated or partially unsaturated monocyclic, bicyclic or tricyclic heterocycle consisting of 3 to 12 ring members which contain one or more heteroatom ring members selected from nitrogen, oxygen or sulfur and whereby the optional substituents on any amino function are independently selected from alkyl, alkyloxy, Het², Het²alkyl, Het²oxy, Het²oxyalkyl, aryl, aryloxy, aryloxyalkyl, aralkyl, alkyloxycarbonylamino, amino and aminoalkyl whereby each of the amino groups may optionally be mono-or disubstituted with alkyl;

wherein Het<sup>2</sup> is defined as an aromatic monocyclic, bicyclic or tricyclic heterocycle consisting of 3 to 12 ring members comprising one or more heteroatom ring members selected from nitrogen, oxygen or sulfur and optionally substituted on one or more carbon atoms by alkyl, alkyloxy, halogen, hydroxyl, optionally mono-or disubstituted amino, nitro, cyano, haloalkyl, carboxyl, alkoxycarbonyl, cycloalkyl, optionally mono-or disubstituted aminocarbonyl, methylthio, methylsulfonyl, aryl, Het<sup>1</sup> and an aromatic monocyclic, bicyclic, or tricyclic heterocycle consisting of 3 to 12 ring members, whereby the optional substituents on any amino function are independently selected from alkyl, alkyloxy, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>oxy, Het<sup>1</sup>oxyalkyl, aryl, aryloxy, aryloxyalkyl, aralkyl, alkyloxycarbonylamino, amino, and amionalkyl whereby each of the amino groups may optionally be mono- or disubstituted with alkyl.

2. (Currently amended) A compound according to claim 1, having the formula I or a pharmaceutically acceptable salt thereof, formula I

$$R_4$$
 $R_5$ 
 $R_2$ 
 $R_1$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 

wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl,

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cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>oxyalkyl, Het<sup>1</sup>aryl, Het<sup>1</sup>aralkyl, Het<sup>1</sup>cycloalkyl, Het<sup>1</sup>carbonyl, Het<sup>1</sup>alkoxycarbonyl, Het<sup>1</sup>alkylthiocarbonyl, Het<sup>1</sup>oxycarbonyl, Het<sup>1</sup>thiocarbonyl, Het<sup>1</sup>alkanoyl, Het<sup>1</sup>aralkanoyl, Het<sup>1</sup>aryloxyalkyl, Het<sup>1</sup>alkyloxyalkyl, Het arylthioalkyl, Het aryloxycarbonyl, Het aralkoxycarbonyl, Het aroyl, Het oxyalkylcarbonyl, Het<sup>1</sup>alkyloxyalkylcarbonyl, Het<sup>1</sup>aryloxyalkylcarbonyl, Het<sup>1</sup>carbonyloxyalkyl, Het lalkylcarbonyloxyalkyl, Het laralkylcarbonyloxyalkyl, Het lakyl; Het laralkylcarbonyloxyalkyl, Het<sup>2</sup>alkyloxyalkyl, Het<sup>2</sup>aralkyl, Het<sup>2</sup>carbonyl, Het<sup>2</sup>oxycarbonyl, Het<sup>2</sup>thiocarbonyl, Het<sup>2</sup>alkanoyl, Het<sup>2</sup>alkylthiocarbonyl, Het<sup>2</sup>alkoxycarbonyl, Het<sup>2</sup>aralkanoyl, Het<sup>2</sup>aralkoxycarbonyl, Het<sup>2</sup>aryloxycarbonyl, Het<sup>2</sup>aroyl, Het<sup>2</sup>aryloxyalkyl, Het<sup>2</sup>arylthioalkyl, Het<sup>2</sup>oxyalkylcarbonyl, Het<sup>2</sup>alkyloxyalkylcarbonyl, Het<sup>2</sup>aryloxyalkylcarbonyl, Het<sup>2</sup>carbonyloxyalkyl, Het<sup>2</sup>alkylcarbonyloxyalkyl, Het<sup>2</sup>aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl,  $CR^6 = NR^7$  and  $CR^6 = N(OR^7)$ , with  $R^6$  and  $R^7$  being independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Het lalkyloxy, Het loxy, Het loxyalkyloxy, Het laryloxy, Het laralkyloxy, Het loxy, He Het<sup>1</sup>carbonyloxy, Het<sup>1</sup>oxycarbonyloxy, Het<sup>1</sup>alkanoyloxy, Het<sup>1</sup>aralkanoyloxy, Het aryloxyalkyloxy, Het aroyl, Het oxy, Het alkyloxy; Het oxyalkyloxy, Het aralkyloxy, Het<sup>2</sup>cycloalkyloxy, Het<sup>2</sup>alkanoyloxy, Het<sup>2</sup>aralkanoyloxy, Het<sup>2</sup>carbonyloxyl, Het<sup>2</sup>aryloxy, and Het<sup>2</sup>aryloxyalkyloxy,

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wherein R<sup>1</sup> R<sup>2</sup> and R<sup>3</sup> are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>thio, Het<sup>2</sup>thio, Het<sup>1</sup>alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>, S(O)R<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, CR<sup>8</sup>=N(OR<sup>9</sup>), N<sub>3</sub>, NO<sub>2</sub>, NR<sup>8</sup>R<sup>9</sup>, N(OH)R<sup>8</sup>, C(O)R<sup>8</sup>, C(S)R<sup>8</sup>, CO<sub>2</sub>R<sup>8</sup>, C(O)sRC(O)SR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>,  $NR^8C(S)R^9$ ,  $N(OH)C(O)R^9$ ,  $N(OH)C(S)R^8$ ,  $NR^8CO_2R^9$ ,  $NR^8C(O)NR^9R^{10}$ ,  $NR^8C(S)NR^9R^{10}$ , N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R<sup>4</sup> is oxo and R<sup>5</sup> is hydrogen or alkyl.

3. (Currently amended) A compound according to claim 1, wherein R<sup>1</sup> is selected from the group consisting of hydrogen, alkyl, hydroxyalkyl, alkenyl, alkynyl, alkyloxyalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylalkyl, cycloalkylalkoxycarbonyl, cycloalkylalkyl, alkyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹axyloxyalkyl, Het¹alkoxycarbonyl, Het¹aryloxycarbonyl, Het¹aryloxycarbonyl,

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Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹aryloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl, Het²alkoxycarbonyl, Het²aryloxycarbonyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, CR6=NR7, and CR6=N(OR7),

with R<sup>6</sup> and R<sup>7</sup> being independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydroxyl, alkyloxy, alkyloxy, cycloalkyloxy, cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkyloxyalkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het<sup>1</sup>alkyloxy, Het<sup>1</sup>oxy, Het<sup>1</sup>oxyalkyloxy, Het<sup>1</sup>aryloxy, Het<sup>1</sup>aralkyloxy, Het<sup>1</sup>cycloalkyloxy, Het<sup>2</sup>oxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>alkanoyloxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>aryloxyalkyloxy, Het<sup>2</sup>aralkanoyloxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>aryloxyalkyloxy, Het<sup>2</sup>aryloxyalkyloxy,

wherein R<sup>1</sup> R<sup>2</sup> and R<sup>3</sup> are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>thio, Het<sup>1</sup>thio, Het<sup>1</sup>thio, Het<sup>1</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>, S(O)R<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, CR<sup>8</sup>=N(OR<sup>9</sup>), N<sub>3</sub>, NO<sub>2</sub>, NR<sup>8</sup>R<sup>9</sup>, N(OH)R<sup>8</sup>, C(O)R<sup>8</sup>, C(S)R<sup>8</sup>, CO<sub>2</sub>R<sup>8</sup>, CO)R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>,

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NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>, NR<sup>8</sup>CO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; and wherein R<sup>4</sup> is selected from the group consisting of, oxo, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl and R<sup>5</sup> is hydrogen, oxo, hydroxyl, hydroxyalkyl, alkyl, alkenyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl.

(Currently amended) A compound according to claim 1 or 2, 4. wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Hetloxyalkyl, Hetlalkoxycarbonyl, Hetloxycarbonyl, Het aryloxyalkyl, Het alkyloxyalkyl, Het arylthioalkyl, Het aryloxycarbonyl, Het laralkoxycarbonyl, Het loxyalkylcarbonyl, Het lalkyloxyalkylcarbonyl, Het laryloxyalkylcarbonyl, Het lcarbonyloxyalkyl, Het lalkylcarbonyloxyalkyl, Het<sup>1</sup>aralkylcarbonyloxyalkyl, Het<sup>2</sup>oxyalkyl, Het<sup>2</sup>alkyloxyalkyl, Het<sup>2</sup>oxycarbonyl, Het<sup>2</sup>alkoxycarbonyl, Het<sup>2</sup>aralkoxycarbonyl, Het<sup>2</sup>aryloxycarbonyl, Het<sup>2</sup>aryloxyalkyl, Het<sup>2</sup>arylthioalkyl, Het<sup>2</sup>oxyalkylcarbonyl, Het<sup>2</sup>alkyloxyalkylcarbonyl, Het<sup>2</sup>aryloxyalkylcarbonyl, Het<sup>2</sup>carbonyloxyalkyl, Het<sup>2</sup>alkylcarbonyloxyalkyl, Het<sup>2</sup>aralkylcarbonyloxyalkyl, CR<sup>6</sup>=NR<sup>7</sup>, and  $CR^6=N(OR^7)$ , with R<sup>6</sup> and R<sup>7</sup> being independently selected from the group consisting of hydrogen, hydroxyl,

alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl,

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wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydroxyl, alkyloxy, alkyloxy, cycloalkyloxy cycloalkylakyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, aryloxycarbonylakyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹aralkanoyloxy, Het¹aralkanoyloxy, Het²aryloxyalkyloxy, Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²aralkanoyloxy, Het²aralkyloxy, Het²aryloxyalkyloxy, Het²aryloxyalkyloxy, Het²aryloxyalkyloxy,

wherein R<sup>1</sup> R<sup>2</sup> and R<sup>3</sup> are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het amino, Het amino, Het alkylamino, Het alkylamino, Het thio, Het thio, Het alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>, S(O)R<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, CR<sup>8</sup>=N(OR<sup>9</sup>), N<sub>3</sub>, NO<sub>2</sub>, NR<sup>8</sup>R<sup>9</sup>, N(OH)R<sup>8</sup>, C(O)R<sup>8</sup>, C(S)R<sup>8</sup>, CO<sub>2</sub>R<sup>8</sup>, C(O)sRC(O)SR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>, NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>, NR<sup>8</sup>CO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;; and

wherein R<sup>4</sup> is oxo and R<sup>5</sup> is hydrogen or alkyl.

5. (Currently amended) A compound according to claim 1 or 2,

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wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylalkyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹arylthioalkyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²oxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²oxyalkyl, Het²oxyalkylcarbonyl, CR<sup>6</sup>=NR<sup>7</sup>, and CR<sup>6</sup>=N(OR<sup>7</sup>),

with R<sup>6</sup> and R<sup>7</sup> being independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup> alkyl, Het<sup>1</sup> aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het<sup>1</sup> carbonyloxy, Het<sup>1</sup> aralkanoyloxy, Het<sup>2</sup> aralkanoyloxy, and Het<sup>2</sup> aralkanoyloxy,

wherein R¹ R² and R³ are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het²thio, Het²thio, Het²alkylthio, Het²alkylthio, Het²alkylthio, Het²alkylthio, Het²oxy, OR³, SR³, SO₂NR³R³, SO₂N(OH)R³, CN, CR³=NR³, SOOR³, SO₂R³, CR³=N(OR³), N3, NO₂, NR³R³, N(OH)R³, C(O)R³, C(S)R³, CO₂R³, COOR³, C(O)R³, N(OH)CO)R³, N(OH)C(S)R³, NR³CO₂R³, NR³C(O)NR³R¹0, NR³C(S)NR³R¹0, NR³C(S)NR³R³0, NR³

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N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;; and

wherein R<sup>4</sup> is oxo and R<sup>5</sup> is hydrogen or alkyl.

(Currently amended) A compound according to claims 1 or 2 wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het oxyalkyl, Het aryloxyalkyl, Het alkyloxyalkyl, Het arylthioalkyl, Het oxyalkyl, Het<sup>2</sup>alkyloxyalkyl, Het<sup>2</sup>aryloxyalkyl, and Het<sup>2</sup>arylthioalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het lalkyl, Het alkyl, Het amino, Het amino, Het alkylamino, Het alkylamino, Het thio, Het thio, Het thio, Het lalkylthio, Het alkylthio, Het loxy and Het oxy, OR, SR, SO2NR, SO2NR, SO2N(OH)R, CN,  $CR^8=NR^9$ ,  $S(O)R^8$ ,  $SO_2R^8$ ,  $CR^8=N(OR^9)$ ,  $N_3$ ,  $NO_2$ ,  $NR^8R^9$ ,  $N(OH)R^8$ ,  $C(O)R^8$ ,  $C(S)R^8$ ,  $CO_2R^8$ , C(O)sRC(O)SR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>, NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>, NR<sup>8</sup>CO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl,

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alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein  $R^2$  and  $R^3$  are hydroxyl and wherein  $R^4$  is oxo and  $R^5$  is hydrogen.

- (Currently amended) A compound according to claims 1 or 2, wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Hetloxyalkyl, Hetlaryloxyalkyl, Hetlalkyloxyalkyl, Het<sup>2</sup>oxyalkyl, Het<sup>2</sup>alkyloxyalkyl, and Het<sup>2</sup>aryloxyalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>thio, Het<sup>2</sup>thio, Het<sup>1</sup>alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN,  $CR^8 = NR^9$ ,  $S(O)R^8$ ,  $SO_2R^8$ ,  $CR^8 = N(OR^9)$ ,  $N_3$ ,  $NO_2$ ,  $NR^8R^9$ ,  $N(OH)R^8$ ,  $C(O)R^8$ ,  $C(S)R^8$ ,  $CO_2R^8$ , C(O)SRC(O)sR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>, NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>, NR<sup>8</sup>CO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein  $R^2$  and  $R^3$  are hydroxyl,  $R^4$  is oxo and  $R^5$  is hydrogen.
- 8. (Previously presented) A compound according to claims 1 or 2, wherein R<sup>1</sup> is selected from the group consisting of alkyl, carboxyl, formyl; wherein R<sup>2</sup> and R<sup>3</sup> are hydroxyl, and wherein R<sup>4</sup> is oxo and R<sup>5</sup> is hydrogen.

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9. (Original) A compound according to claim 8, wherein  $R^1$  is formyl,  $R^2$  and  $R^3$  are hydroxyl  $R^4$  is oxo and  $R^5$  is hydrogen.

10. (Currently amended) A compound according to claim 1 or 3, wherein R¹ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, alkyloxyalkyl, hydroxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹aryloxyalkyl, Het¹aryloxyalkyl, Het¹arylthioalkyl, Het¹arylthioalkyl, Het¹aryloxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²alkyloxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkyl, Het²aryloxyalkylcarbonyl, CR6=NR7, and CR6=N(OR7), with R6 and R7 being independently selected from the group consisting of hydrogen, hydroxyl,

alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup> alkyl, Het<sup>1</sup> aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het<sup>1</sup> carbonyloxy, Het<sup>1</sup> aralkanoyloxy, Het<sup>2</sup> aralkanoyloxy, and Het<sup>2</sup> aralkanoyloxy,

wherein R<sup>1</sup> R<sup>2</sup> and R<sup>3</sup> are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)<sub>t</sub>, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>alkylamino, Het<sup>1</sup>alk

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Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>, S(O)R<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, CR<sup>8</sup>=N(OR<sup>9</sup>), N<sub>3</sub>, NO<sub>2</sub>, NR<sup>8</sup>R<sup>9</sup>, N(OH)R<sup>8</sup>, C(O)R<sup>8</sup>, C(S)R<sup>8</sup>, CO<sub>2</sub>R<sup>8</sup>, C(O)SR<sup>6</sup>(O)SR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>, NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>, NR<sup>8</sup>CO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;; and

wherein R<sup>4</sup> is oxo, hydroxyalkyl, alkyl, alkenyl, arylcarbonylaryl, or alkylcarbonylalkyl and R<sup>5</sup> is hydrogen or alkyl.

- 11. (Previously presented) A compound according to claim 1 or 3, wherein R<sup>1</sup> is hydroxyalkyl, R<sup>2</sup> and R<sup>3</sup> are hydroxyl, R<sup>4</sup> is oxo and R<sup>5</sup> is hydrogen.
- 12. (Currently amended) A compound according to claim 1 or 3, wherein R¹ is selected from the group consisting of hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het²arylthioalkyl, Het²arylthioalkyl, Het²arylthioalkyl, and Het²arylthioalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino,

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Het lalkylamino, Het alkylamino, Het thio, Het thio, Het alkylthio, Het alkylthio, Het alkylthio, Het oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>, S(O)R<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, CR<sup>8</sup>=N(OR<sup>9</sup>), N<sub>3</sub>,  $NO_2$ ,  $NR^8R^9$ ,  $N(OH)R^8$ ,  $C(O)R^8$ ,  $C(S)R^8$ ,  $CO_2R^8$ ,  $C(O)SRC(O)sR^8$ ,  $C(O)NR^8R^9$ ,  $C(S)NR^8R^9$ , C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>, NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>, NR<sup>8</sup>CO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup> alkyl, Het<sup>1</sup> aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are hydroxyl and wherein R<sup>4</sup> is hydroxyalkyl, arylcarbonylalkyl, or alkylcarbonylalkyl and R<sup>5</sup> is hydrogen.

(Currently amended) A compound according to claim 1 or 3, wherein R<sup>1</sup> is selected from 13. the group consisting of hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Het¹oxyalkyl, Het aryloxyalkyl, Het alkyloxyalkyl, Het oxyalkyl, Het alkyloxyalkyl, and Het aryloxyalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>thio, Het<sup>2</sup>thio, Het<sup>1</sup>alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>, S(O)R<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, CR<sup>8</sup>=N(OR<sup>9</sup>), N<sub>3</sub>,  $NO_{2}$ ,  $NR^{8}R^{9}$ ,  $N(OH)R^{8}$ ,  $C(O)R^{8}$ ,  $C(S)R^{8}$ ,  $CO_{2}R^{8}$ ,  $C(O)SRC(O)sR^{8}$ ,  $C(O)NR^{8}R^{9}$ ,  $C(S)NR^{8}R^{9}$ , C(S)NRC(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>, NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>,

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 $NR^{8}CO_{2}R^{9}, NR^{8}C(O)NR^{9}R^{10}, NR^{8}C(S)NR^{9}R^{10}, N(OH)CO_{2}R^{8}, NR^{8}C(O)SR^{9}, \\ N(OH)C(O)NR^{8}R^{9}, N(OH)C(S)NR^{8}R^{9}, NR^{8}C(O)N(OH)R^{9}, NR^{8}C(S)N(OH)R^{9}, NR^{8}SO_{2}R^{9}, \\ NHSO_{2}NR^{8}R^{9}, NR^{8}SO_{2}NHR^{9}, \text{ and } P(O)(OR^{8})(OR^{9}), \\$ 

with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are hydroxyl, R<sup>4</sup> is hydroxyalkyl, arylcarbonylalkyl, or alkylcarbonylalkyl and R<sup>5</sup> is hydrogen.

- 14. (Previously presented) A compound according to claim 1 or 3, wherein R<sup>1</sup> is selected from the group consisting of alkyl, hydroxyalkyl, carboxyl, and formyl; wherein R<sup>2</sup> and R<sup>3</sup> are hydroxyl, and wherein R<sup>4</sup> is arylcarbonylalkyl and R<sup>5</sup> is hydrogen.
- 15. (Original) A compound according to claim 14, wherein R<sup>1</sup> is hydroxyalkyl, R<sup>2</sup> and R<sup>3</sup> are hydroxyl, R<sup>4</sup> is arylcarbonylalkyl and R<sup>5</sup> is hydrogen.
- 16. (Original) A compound according to claim 15, wherein R<sup>1</sup> is hydroxymethylene, R<sup>2</sup> and R<sup>3</sup> are hydroxyl, R<sup>4</sup> is phenylcarbonylmethylene and R<sup>5</sup> is hydrogen.
- 17. (Currently amended) A compound having the formula Ia or a pharmaceutically acceptable salt or ester thereof,

formula Ia

$$R_4$$
 $R_5$ 
 $R_2$ 
 $R_1$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 

wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl,

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cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹aryloxyalkyl, Het¹aryloxycarbonyl, Het¹aryloxyalkyl, Het¹aryloxycarbonyl, Het¹aryloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹aryloxyalkyl, Het²aryloxyalkyl, Het²aryl

with R<sup>6</sup> and R<sup>7</sup> being independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup> alkyl, Het<sup>1</sup> aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydroxyl, alkyloxy, alkyloxy, aryloxyalkyloxy, aryloxyalkyloxy, aryloxyalkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkanoyloxy, aryloxyalkyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹aroyl, Het²oxycarbonyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, Het²aralkyloxy, Het²carbonyloxy, Het²aralkyloxy, Het²carbonyloxy, Het²aralkanoyloxy, Het²carbonyloxy, Het²aralkanoyloxy, Het²carbonyloxyl, Het²aryloxyalkyloxy, Het²aryloxyalkyloxy, Het²aryloxyalkyloxy, Het²aryloxyalkyloxy, Het²aryloxyalkyloxy, Het²aryloxyalkyloxy,

wherein R<sup>1</sup> R<sup>2</sup> and R<sup>3</sup> are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)<sub>t</sub>, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl,

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aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²alkylamino, Het²alkylamino, Het²thio, Het¹alkylthio, Het²alkylthio, Het²alkylthio, Het²oxy, OR8, SR8, SO2NR8R9, SO2N(OH)R8, CN, CR8=NR9, SO2R8, SO2R8, CR8=N(OR9), N3, NO2, NR8R9, N(OH)R8, C(O)R8, CO2R8, CO2R8, CO3R8, NR8C(O)R9, NR8C(S)R9, N(OH)C(O)R9, N(OH)C(S)R8, NR8C(O)R9, NR8C(O)NR9R10, NR8C(S)NR9R10, NR8C(

wherein R<sup>4</sup> and R<sup>5</sup> are hydrogen or alkyl;

wherein Het<sup>1</sup> is defined as a saturated or partially unsaturated monocyclic, bicyclic or polycyclic heterocycle consisting of 3 to 12 ring members which comprise one or more heteroatom ring members selected from nitrogen, oxygen or sulfur, optionally substituted on one or more carbon atoms by alkyl, alkyloxy, halogen, hydroxyl, oxo, optionally mono- or disubstituted amino, nitro, cyano, haloalkyl, carboxyl, alkoxycarbonyl cycloalkyl, optionally mono- or disubstituted aminocarbonyl, methylthio, methylsulfonyl, aryl and a saturated or partially unsaturated monocyclic, bicyclic or tricyclic heterocycle consisting of 3 to 12 ring members which contain one or more heteroatom ring members selected from nitrogen, oxygen or sulfur and whereby the optional substituents on any amino function are independently selected from alkyl, alkyloxy, Het<sup>2</sup>, Het<sup>2</sup>alkyl, Het<sup>2</sup>oxy, Het<sup>2</sup>oxyalkyl, aryl, aryloxy, aryloxyalkyl, aralkyl, alkyloxycarbonylamino, amino and aminoalkyl whereby each of the amino groups may optionally be mono-or disubstituted with alkyl;

wherein Het<sup>2</sup> is defined as an aromatic monocyclic, bicyclic or tricyclic heterocycle consisting of 3 to 12 ring members comprising one or more heteroatom ring members selected from nitrogen,

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oxygen or sulfur and optionally substituted on one or more carbon atoms by alkyl, alkyloxy, halogen, hydroxyl, optionally mono-or disubstituted amino, nitro, cyano, haloalkyl, carboxyl, alkoxycarbonyl, cycloalkyl, optionally mono-or disubstituted aminocarbonyl, methylthio, methylsulfonyl, aryl, Het<sup>1</sup> and an aromatic monocyclic, bicyclic, or tricyclic heterocycle consisting of 3 to 12 ring members, whereby the optional substituents on any amino function are independently selected from alkyl, alkyloxy, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>oxy, Het<sup>1</sup>oxyalkyl, aryl, aryloxy, aryloxyalkyl, aralkyl, alkyloxycarbonylamino, amino, and amionalkyl whereby each of the amino groups may optionally be mono- or disubstituted with alkyl.

(Currently amended) A compound according to claim 17, 18. wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Hetloxyalkyl, Hetlaryloxyalkyl, Het lalkyloxyalkyl, Het larylthioalkyl, Het loxyalkylcarbonyl, Het lalkyloxyalkylcarbonyl, Het aryloxyalkylcarbonyl, Het oxyalkyl, Het alkyloxyalkyl, Het aryloxyalkyl, Het ary Het<sup>2</sup>oxyalkylcarbonyl, Het<sup>2</sup>alkyloxyalkylcarbonyl, Het<sup>2</sup>aryloxyalkylcarbonyl, CR<sup>6</sup>=NR<sup>7</sup>, and CR<sup>6</sup>=N(OR<sup>7</sup>), with R<sup>6</sup> and R<sup>7</sup> being independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are independently selected from the group consisting of hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Het<sup>1</sup>alkyloxy, Het<sup>1</sup>oxy, Het<sup>1</sup>oxyalkyloxy, Het<sup>1</sup>aryloxy, Het<sup>1</sup>aralkyloxy, Het<sup>1</sup>cycloalkyloxy, Het<sup>1</sup>carbonyloxy, Het<sup>1</sup>oxycarbonyloxy, Het<sup>1</sup>alkanoyloxy, Het<sup>1</sup>aralkanoyloxy, Het<sup>1</sup>aryloxyalkyloxy, Het<sup>1</sup>aroyl, Het<sup>2</sup>oxy, Het<sup>2</sup>alkyloxy; Het<sup>2</sup>oxyalkyloxy, Het<sup>2</sup>aralkyloxy, Het<sup>2</sup>cycloalkyloxy, Het<sup>2</sup>alkanoyloxy, Het<sup>2</sup>aralkanoyloxy, Het<sup>2</sup>carbonyloxyl, Het<sup>2</sup>aryloxy, and Het<sup>2</sup>aryloxyalkyloxy,

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wherein R<sup>1</sup> R<sup>2</sup> and R<sup>3</sup> are unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>thio, Het<sup>2</sup>thio, Het<sup>1</sup>alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>,  $S(O)R^8$ ,  $SO_2R^8$ ,  $CR^8=N(OR^9)$ ,  $N_3$ ,  $NO_2$ ,  $NR^8R^9$ ,  $N(OH)R^8$ ,  $C(O)R^8$ ,  $C(S)R^8$ ,  $CO_2R^8$ , <u>C(O)SRC(O)sR</u><sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>, NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>, NR<sup>8</sup>CO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup> alkyl, Het<sup>1</sup> aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;, and wherein R<sup>4</sup> and R<sup>5</sup> are hydrogen or alkyl.

19. (Currently amended) A compound according to claim 17 or 18, wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, silyloxyalkyl, Het¹aryloxyalkyl, Het¹aryloxyalkyl, Het¹arylthioalkyl, Het¹arylthioalkyl, Het²oxyalkyl, Het²aryloxyalkyl, and Het²arylthioalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or

hydrogen or alkyl.

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di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het¹thio, Het²thio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR8, SR8, SO2NR8R9,  $SO_2N(OH)R^8$ , CN,  $CR^8=NR^9$ ,  $S(O)R^8$ ,  $SO_2R^8$ ,  $CR^8=N(OR^9)$ ,  $N_3$ ,  $NO_2$ ,  $NR^8R^9$ ,  $N(OH)R^8$ , C(O)R<sup>8</sup>, C(S)R<sup>8</sup>, CO<sub>2</sub>R<sup>8</sup>, C(O)SRC(O)sR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>,  $C(S)N(OH)R^{8}$ ,  $NR^{8}C(O)R^{9}$ ,  $NR^{8}C(S)R^{9}$ ,  $N(OH)C(O)R^{9}$ ,  $N(OH)C(S)R^{8}$ ,  $NR^{8}CO_{2}R^{9}$ , NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>,  $NR^8SO_2NHR^9$ , and  $P(O)(OR^8)(OR^9)$ , with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup> alkyl, Het<sup>1</sup> aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are hydroxyl and wherein R<sup>4</sup> and R<sup>5</sup> are

20. (Currently amended) A compound according to claim 17 or 18, wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²alkyloxyalkyl, and Het²aryloxyalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino,

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arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het¹alkylamino, Het²alkylamino, Het¹thio, Het²alkyl, Het¹alkylthio, Het²alkylthio, Het¹alkylthio, Het²alkylthio, Het¹alkylthio, Het²alkylthio, Het²alkylthio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR³, SR³, SO₂NR³R³, SO₂N(OH)R³, CN, CR³=NR³, S(O)R³, SO₂R³, CR³=N(OR³), N₃, NO₂, NR³R³, N(OH)R³, C(O)R³, C(S)R³, CO₂R³, C(O)SRC(O)sR³, C(O)NR³R³, C(O)NR³R³, C(O)NR³R³, C(O)NR³R³, NR³C(O)R³, NR³C(O)R³, NR³C(O)R³, NR³C(O)R³, NR³C(O)R³, NR³C(S)NR³R¹0, NR³C(S)R³, NR³C(O)SR³, NR³C(O)SR³, NR³C(O)NR³R³, N(OH)C(S)NR³R³, NR³C(O)N(OH)R³, NR³C(S)N(OH)R³, NR³C(S)N(OH)R³, NR³SO₂R³, NHSO₂NR³R³, NR³SO₂NHR³, and P(O)(OR³)(OR³), with t being an integer between 1 and 2, and R³ R³ and R¹0 being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R² and R³ are hydroxyl and wherein R⁴ and R⁵ are hydrogen.

21. (Currently amended) A compound having the formula Ib or a pharmaceutically acceptable salt or ester thereof,

formula Ib

$$R_4$$
 $R_5$ 
 $R_2$ 
 $R_1$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 

wherein R<sup>1</sup> is selected from the group consisting of alkenyl, alkynyl, alkyloxyalkyl, alkyloxyarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxycarbonyl, alkylcarbonyloxyalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl,

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Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxycarbonyl,
Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl,
Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl,
Het¹aralkylcarbonyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl,
Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aryloxyalkyl,
Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl,
Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, CR6=NR7, and
CR6=N(OR7),

with R<sup>6</sup> and R<sup>7</sup> being independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup> alkyl, Het<sup>1</sup> aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R<sup>1</sup> is unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het<sup>1</sup>amino, Het<sup>2</sup>amino, Het<sup>1</sup>alkylamino, Het<sup>2</sup>alkylamino, Het<sup>1</sup>thio, Het<sup>2</sup>thio, Het<sup>1</sup>alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>,  $S(O)R^8$ ,  $SO_2R^8$ ,  $CR^8=N(OR^9)$ ,  $N_3$ ,  $NO_2$ ,  $NR^8R^9$ ,  $N(OH)R^8$ ,  $C(O)R^8$ ,  $C(S)R^8$ ,  $CO_2R^8$ ,  $C(O)SRC(O)SR^{8}$ ,  $C(O)NR^{8}R^{9}$ ,  $C(S)NR^{8}R^{9}$ ,  $C(O)N(OH)R^{9}$ ,  $C(S)N(OH)R^{8}$ ,  $NR^{8}C(O)R^{9}$ ,  $NR^{8}C(S)R^{9}$ ,  $N(OH)C(O)R^{9}$ ,  $N(OH)C(S)R^{8}$ ,  $NR^{8}CO_{2}R^{9}$ ,  $NR^{8}C(O)NR^{9}R^{10}$ ,  $NR^{8}C(S)NR^{9}R^{10}$ , N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl,

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alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino, and

wherein R<sup>2</sup> and R<sup>3</sup> are hydroxyl and wherein R<sup>4</sup> is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula Ib; and wherein R<sup>5</sup> is hydrogen;

wherein Het<sup>1</sup> is defined as a saturated or partially unsaturated monocyclic, bicyclic or polycyclic heterocycle consisting of 3 to 12 ring members which comprise one or more heteroatom ring members selected from nitrogen, oxygen or sulfur, optionally substituted on one or more carbon atoms by alkyl, alkyloxy, halogen, hydroxyl, oxo, optionally mono- or disubstituted amino, nitro, cyano, haloalkyl, carboxyl, alkoxycarbonyl cycloalkyl, optionally mono- or disubstituted aminocarbonyl, methylthio, methylsulfonyl, aryl and a saturated or partially unsaturated monocyclic, bicyclic or tricyclic heterocycle consisting of 3 to 12 ring members which contain one or more heteroatom ring members selected from nitrogen, oxygen or sulfur and whereby the optional substituents on any amino function are independently selected from alkyl, alkyloxy, Het<sup>2</sup>, Het<sup>2</sup>alkyl, Het<sup>2</sup>oxy, Het<sup>2</sup>oxyalkyl, aryl, aryloxy, aryloxyalkyl, aralkyl, alkyloxycarbonylamino, amino and aminoalkyl whereby each of the amino groups may optionally be mono-or disubstituted with alkyl;

wherein Het<sup>2</sup> is defined as an aromatic monocyclic, bicyclic or tricyclic heterocycle consisting of 3 to 12 ring members comprising one or more heteroatom ring members selected from nitrogen, oxygen or sulfur and optionally substituted on one or more carbon atoms by alkyl, alkyloxy, halogen, hydroxyl, optionally mono-or disubstituted amino, nitro, cyano, haloalkyl, carboxyl, alkoxycarbonyl, cycloalkyl, optionally mono-or disubstituted aminocarbonyl, methylthio, methylsulfonyl, aryl, Het<sup>1</sup> and an aromatic monocyclic, bicyclic, or tricyclic heterocycle consisting of 3 to 12 ring members, whereby the optional substituents on any amino function are independently selected from alkyl, alkyloxy, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>oxy, Het<sup>1</sup>oxyalkyl, aryl, aryloxy, aryloxyalkyl, aralkyl, alkyloxycarbonylamino, amino, and amionalkyl whereby each of the amino groups may optionally be mono- or disubstituted with alkyl.

22. (Currently amended) A compound according to claim 21, wherein R<sup>1</sup> is selected from the group consisting of alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl,

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arylalkenyl, carboxyl, Het<sup>1</sup>oxyalkyl, Het<sup>1</sup>aryloxyalkyl, Het<sup>1</sup>alkyloxyalkyl, Het<sup>2</sup>oxyalkyl, Het<sup>2</sup>alkyloxyalkyl, and Het<sup>2</sup>aryloxyalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het<sup>1</sup>, Het<sup>2</sup>, cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het amino, Het amino, Het alkylamino, Het alkylamino, Het thio, Het thio, Het thio, Het alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>, S(O)R<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, CR<sup>8</sup>=N(OR<sup>9</sup>), N<sub>3</sub>, NO<sub>2</sub>, NR<sup>8</sup>R<sup>9</sup>, N(OH)R<sup>8</sup>, C(O)R<sup>8</sup>, C(S)R<sup>8</sup>, CO<sub>2</sub>R<sup>8</sup>, <u>C(O)SR</u><sup>C</sup>(O)sR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>,  $NR^{8}C(S)R^{9}$ ,  $N(OH)C(O)R^{9}$ ,  $N(OH)C(S)R^{8}$ ,  $NR^{8}CO_{2}R^{9}$ ,  $NR^{8}C(O)NR^{9}R^{10}$ ,  $NR^{8}C(S)NR^{9}R^{10}$ , N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino; wherein R<sup>2</sup> and R<sup>3</sup> are hydroxyl and wherein R<sup>4</sup> and R<sup>5</sup> are hydrogen.

23. (Currently amended) A compound according to claim 22, wherein R<sup>1</sup> is selected from the group consisting of alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, and Het²aryloxyalkyl, unsubstituted or substituted by one or more substituents independently selected from the group consisting of alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)t, hydroxy, cyano, halogen and amino, unsubstituted, mono- or disubstituted wherein

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the substituents are independently selected from the group consisting of alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het<sup>1</sup>, Het<sup>2</sup>, Het<sup>1</sup>alkyl, Het<sup>2</sup>alkyl, Het amino, Het amino, Het alkylamino, Het alkylamino, Het thio, Het thio, Het thio, Het alkylthio, Het<sup>2</sup>alkylthio, Het<sup>1</sup>oxy and Het<sup>2</sup>oxy, OR<sup>8</sup>, SR<sup>8</sup>, SO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, SO<sub>2</sub>N(OH)R<sup>8</sup>, CN, CR<sup>8</sup>=NR<sup>9</sup>,  $S(O)R^8$ ,  $SO_2R^8$ ,  $CR^8=N(OR^9)$ ,  $N_3$ ,  $NO_2$ ,  $NR^8R^9$ ,  $N(OH)R^8$ ,  $C(O)R^8$ ,  $C(S)R^8$ ,  $CO_2R^8$ , C(O)SRC(O)sR<sup>8</sup>, C(O)NR<sup>8</sup>R<sup>9</sup>, C(S)NR<sup>8</sup>R<sup>9</sup>, C(O)N(OH)R<sup>9</sup>, C(S)N(OH)R<sup>8</sup>, NR<sup>8</sup>C(O)R<sup>9</sup>, NR<sup>8</sup>C(S)R<sup>9</sup>, N(OH)C(O)R<sup>9</sup>, N(OH)C(S)R<sup>8</sup>, NR<sup>8</sup>CO<sub>2</sub>R<sup>9</sup>, NR<sup>8</sup>C(O)NR<sup>9</sup>R<sup>10</sup>, NR<sup>8</sup>C(S)NR<sup>9</sup>R<sup>10</sup>, N(OH)CO<sub>2</sub>R<sup>8</sup>, NR<sup>8</sup>C(O)SR<sup>9</sup>, N(OH)C(O)NR<sup>8</sup>R<sup>9</sup>, N(OH)C(S)NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>C(O)N(OH)R<sup>9</sup>, NR<sup>8</sup>C(S)N(OH)R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>R<sup>9</sup>, NHSO<sub>2</sub>NR<sup>8</sup>R<sup>9</sup>, NR<sup>8</sup>SO<sub>2</sub>NHR<sup>9</sup>, and P(O)(OR<sup>8</sup>)(OR<sup>9</sup>), with t being an integer between 1 and 2, and R<sup>8</sup> R<sup>9</sup> and R<sup>10</sup> being each independently selected from the group consisting of hydrogen, hydroxyl, alkyl, aryl, Het<sup>1</sup>, Het<sup>1</sup>alkyl, Het<sup>1</sup>aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino, wherein R<sup>2</sup> and R<sup>3</sup> are hydroxyl; wherein R<sup>4</sup> is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula Ib; and wherein R<sup>5</sup> is hydrogen.

## 24. (Currently amended) A compound of formula I,

$$R_4$$
 $R_5$ 
 $R_2$ 
 $R_1$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 

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wherein  $R^1$  is hydroxyalkyl, wherein  $R^2$  and  $R^3$  are hydroxyl; wherein  $R^4$  is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein  $R^5$  is hydrogen.

25. (Currently amended) A compound of formula I or a pharmaceutically acceptable salt or ester thereof,

$$R_4$$
 $R_5$ 
 $R_2$ 
 $R_1$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_4$ 
 $R_5$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_4$ 
 $R_5$ 
 $R_7$ 
 $R_8$ 

wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup>, R<sup>4</sup> and R<sup>5</sup> are selected as in Table A.

26. (Previously presented) A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to any one of claims 1, 17 and 21.

27. (Original) A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to claim 9.

28. (Original) A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to claim 11.

## 29. (Cancelled)

30. (Currently amended) A method of treating cancer comprising administering a compound according to any one of claims 1, 17, and 21 to an individual in need of such treatment, wherein

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the cancer is selected from the group consisting of lung cancer, breast cancer, melanoma cancer, glioma, colon cancer, bladder cancer, prostate cancer and pancreatic cancer.

31. (Cancelled)

32. (Currently amended) A method of treating cancer comprising administrating to an individual in need of such treatment a pharmaceutical composition according to claim 26, wherein the cancer is selected from the group consisting of lung cancer, breast cancer, melanoma cancer, glioma, colon cancer, bladder cancer, prostate cancer and pancreatic cancer.